(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 6 January 2005 (06.01.2005)

PCT

(10) International Publication Number WO 2005/000804 A3

- (51) International Patent Classification⁷: C07C 205/37, 205/44, 205/45, C07D 213/64, 215/18, 215/227, 317/62, 401/10
- (21) International Application Number:

PCT/US2004/017357

(22) International Filing Date:

1 June 2004 (01.06.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/476,089

5 June 2003 (05.06.2003) US

)(1) TTG

- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): DAVIES, Ian, W. [GB/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). SMITROVICH, Jacqueline, H. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). QU, Chuanxing [CN/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report:
 4 August 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED INDOLES AND A PROCESS FOR PREPARING SUBSTITUTED INDOLES

(57) Abstract: The instant invention is directed to novel compounds of Formulae (I) and (II), as wells a process for preparing compounds of Formula (II). The process comprises a palladium-catalyzed reductive cyclization of a compound of Formula (I) to produce a compound of Formula (II).



INTERNATIONAL SEARCH REPORT

International appli

A. CLASSIFICATION OF SUPPECT MATTER	PCT/US04/17357
IPC(7) : C07C 205/37,44,45; C07D 213/64, 215/18,227; 317/62, 401/10. US CL : 544/363; 546/157,180,300; 549/439; 568/306,424,588,931. According to International Patent Classification (IPC) or to both national classification and continuous con	ed IPC
b. FIELDS SEARCHED	
Minimum documentation searched (classification system followed by classification symbol. S.: 568/424.	
Documentation searched other than minimum documentation to the extent that such documentation the exte	
Electronic data base consulted during the international search (name of data base and, wh CAS ONLINE STRUCTURE SEARCH.	ere practicable, search terms used)
C. DOCUMENTS CONSIDERED TO BE RELEVANT	
Category * Citation of document, with indication, where appropriate, of the relev	ant passages Dal
A US 3,931,195 A (DYKSTRA et al.) 06 January 1976 (06.01.1976), see for	
ar examples 2,3 and 3.	
Echavarren, A.M. Lewis Acid Catalyzed Reactions of alpha, beta-Unsaturate Dimethylhydrazones with 1,4-Benzoquinone. Formation of Indoles by a Nov Rearrangement. 1990, Vol.55, pages 4255-4260, see especially page 4258, preparation of compound 2.	1 0
Further documents are listed in the continuation of Box C. See patent fa	
Special categories of cited down	published after the international filing date or priority
particular relevance and and not in particular relevance and and not in principle or the	conflict with the application but cited to understand the cry underlying the invention
Constituted Movi	rticular relevance; the claimed invention cannot be el or cannot be considered to involve an inventive step ment is taken alone
establish the publication date of another citation or other special reason (as "Y" document of passecified) considered to in	rticular relevance; the claimed invention cannot be
The document referring to an oral disclosure, use, exhibition or other means obvious to a per Production of the international Giventor to the Giventor	son skilled in the art
priority date claimed document ment	per of the same patent family
	international search report
Vame and mailing address of the ISA/US Authorized officer	JUN 2005
Mail Stop PCT, Attn: ISA/US Commissioner of Patents P.O. Box 1450 Alexandria Virginia 22212 1460	Lella Sellens for
Telephone No. (571) 2 mm PCT/ISA/210 (second sheet) (July 1998)	72-2717

INTERNATIONAL SEARCH REPORT

International ap

PCT/US04/173:57

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)		
This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:		
1. Claim Nos.: because they relate to subject matter not required to be searched by this Authority, namely:		
2. Claim Nos.: 1-2 (both in part) because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically: Please See Continuation Sheet		
3. Claim Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).		
Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)		
This International Searching Authority found multiple inventions in this international application, as follows: Please See Continuation Sheet		
 As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.: 		
4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-3 Remark on Protest		
No protest accompanied the payment of additional search fees.		

INTERNATIONAL SEARCH REPORT

Continuation of Box I Reason 2:

The claims relate to an extremely large number of permutations based on the scope of variables being claimed which are not all adequately supported in the description within the meaning of PCT Article 6. Additionally, a preliminary search yieded many novelty-destroying compounds. The claims have been searched to the extent needed to determine patentability of species recited in claim 3.

BOX II. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group L claim(s) 1-3, drawn to compounds of Formula L

Group II, claim(s) 4-19, drawn to compounds of Formula II and process of making said compounds.

The inventions listed as Groups I and II do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: They relate to starting materials vs. final products that are very dissimilar in structure as the nitro and vinylic portion in the starting materials is not present in the final products.